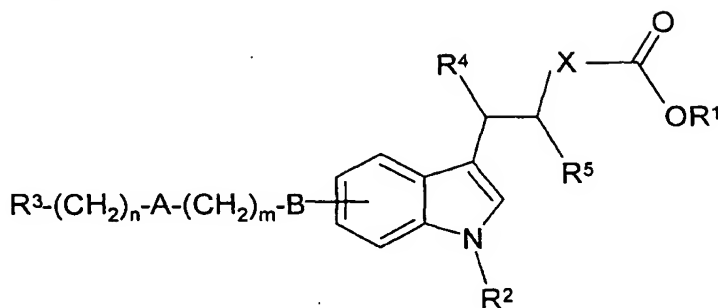


Patent Claims

We Claim:

- 5 1. A compound of the formula I



in which

A and B are each, independently of one another, O, S, NH, NR⁷, CO, CONH, NHCO or a direct bond,

- 10 X is alkylene having 1 to 2 carbon atoms which is unsubstituted or monosubstituted by R⁴ or R⁵, or a direct bond,

R¹ is H, Z or -(CH₂)_o-Ar,

R² is H, R⁷ or -C(O)Z,

- 15 R³ is NHR⁶, -NR⁶-C(=NR⁶)-NHR⁶, -C(=NR⁶)-NHR⁶,
-NR⁶-C(=NR⁹)-NHR⁶, Het¹ or -C(=NR⁹)-NHR⁶,

R⁴ and R⁵ are each, independently of one another, H, oxo, R⁷,
-(CH₂)_o-Ar, -C(O)-(CH₂)_o-Ar, -C(O)-(CH₂)_o-R⁷, -C(O)-(CH₂)_o-Het,
Het, NHR⁶, NHAr, NH-Het, CONH-R⁷, CONH-(CH₂)_o-Ar,
CONH-(CH₂)_o-Het, OR⁷, OAr, OR⁶ or O-Het,

- 20 R⁶ is H, -C(O)R⁷, -C(O)-Ar, -C(O)-Het, R⁷, COOR⁷, COO-(CH₂)_o-Ar,
COO-(CH₂)_o-Het, SO₂-Ar, SO₂R⁷ or SO₂-Het,

R⁷ is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms,

- 25 R⁸ is Hal, NO₂, CN, Z, -(CH₂)_o-Ar, COOR¹, OR¹, CF₃, OCF₃, SO₂R¹,
NHR¹, N(R¹)₂, NH-C(O)R¹, NHCOOR¹, COOH, COOZ or C(O)R¹,

R⁹ is CN or NO₂,

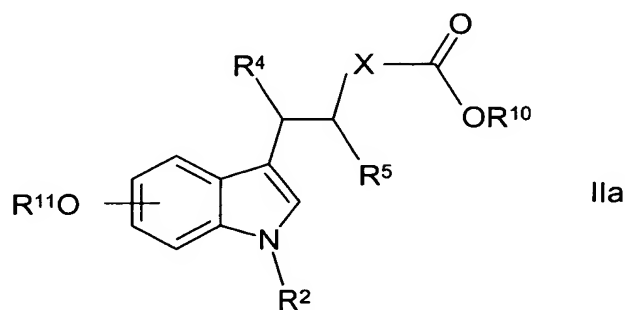
Z is alkyl having 1 to 6 carbon atoms,

- Ar is aryl which is unsubstituted or monosubstituted or polysubstituted by R⁸,
Hal is F, Cl, Br or I,
Het is a saturated, partially or fully unsaturated monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members, where 1 or 2 N and/or 1 or 2 S or O atoms may be present and the heterocyclic radical may be monosubstituted or disubstituted by R⁸,
Het¹ is a monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members and 1 to 4 N atoms each of which may be unsubstituted or monosubstituted or disubstituted by Hal, R⁷, OR⁷, CN, NHZ, oxo or NO₂,
n is 0, 1 or 2,
m is 0, 1, 2, 3, 4, 5 or 6, and
o is 0, 1 or 2,
and physiologically acceptable salts and solvates thereof.

2. An enantiomer of a compound according to Claim 1.
3. A compound according to Claim 1, wherein X is a direct bond.
4. A compound according to Claim 1, wherein
B is O,
R⁴ is R⁷, (CH₂)_o-Ar or Het,
o is 0 or 1,
R⁵ is H, and
R⁷ is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms.
5. A compound according to Claim 1, selected from,
a) 3-phenyl-3-{6-[3-(pyridin-2-ylamino)propoxy]-1H-indol-3-yl} propionic acid;

- b) 3-phenyl-3-[6-(pyridin-2-ylamidocarboxymethoxy)indol-3-yl]
propionic acid;
- c) 3-phenyl-3-[6-(benzimidazol-2-ylamidocarboxymethoxy)indol-3-yl]
propionic acid;
- 5 d) 3-phenyl-3-[6-(imidazol-2-ylamidocarboxymethoxy)indol-3-yl]
propionic acid;
- e) 3-{6-[3-(4,5-dihydro-1H-imidazol-2-ylamino)propoxy]-1H-indol-3-
yl}-3-phenylpropionic acid;
- f) 3-phenyl-3-[6-[3-(guanidinopropoxy)indol-3-yl]propionic acid;
- 10 g) 3-(benzo[1,2,5]thiadiazol-5-yl)-3-{6-[2-(6-methylamino-pyridin-2-
yl)-ethyloxy]-indol-3-yl}-propionic acid;
and physiologically acceptable salts and solvates thereof.
6. A process for the preparation of a compound according to Claim 1 and
15 its salts and solvates, wherein
- a) a compound of the formula I is liberated from one of its functional
derivatives by treatment with a solvolyzing or hydrogenolyzing
agent,
or
- 20 b) a radical R^1 , R^2 , R^3 , R^4 , R^5 and/or R^6 is converted into another
radical R^1 , R^2 , R^3 , R^4 , R^5 and/or R^6 ,
by
- i) converting an amino group into a guanidino group by reaction
with an amidating agent,
- 25 ii) saponifying an ester,
iii) alkylating or acylating an amino group,
iv) converting a cyano group into an amidino group,
and/or a base or acid of the formula I is converted into one of its salts.
- 30 7. A therapeutic active ingredient comprising a compound according to
Claim 1 and physiologically acceptable salts or solvates thereof.

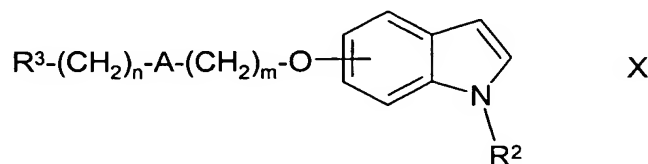
8. An integrin inhibitor comprising a compound according to Claim 1 and physiologically acceptable salts or solvates thereof.
9. A pharmaceutical preparation, comprising at least one compound according to Claim 1 and/or physiologically acceptable salts or solvates thereof.
10. A process for the preparation of a medicament comprising admixing a compound of according to Claim 1 and/or physiologically acceptable salts or solvates thereof with at least one solid, liquid, or semi-liquid excipient or auxiliary or optionally, one or more other active ingredient.
11. A method of treating thromboses, cardiac infarction, coronary heart diseases, arteriosclerosis, inflammations, rheumatic arthritis, macular degenerative disease, diabetic retinopathy, a tumour by inhibition of metastasis, a tumour by initiation of apoptosis, tumour induced angiogenesis disease, osteoporosis, and/or infections and restenosis after angioplasty comprising administering to a patient in need thereof a compound according to Claim 1 and/or physiologically acceptable salts or solvates thereof.
12. Compounds of the formula IIa



- in which R^2 , R^4 and R^5 are as defined in Claim 1,
 R^1 is H, Z or $-(CH_2)_o-Ar$,
 R^2 is H, R^7 or $-C(O)Z$,

- R^4 and R^5 are each, independently of one another, H, oxo, R^7 , $-(CH_2)_o-Ar$, $-C(O)-(CH_2)_o-Ar$, $-C(O)-(CH_2)_o-R^7$, $-C(O)-(CH_2)_o-Het$, Het, NHR^6 , $NHAr$, $NH-Het$, $CONH-R^7$, $CONH-(CH_2)_o-Ar$, $CONH-(CH_2)_o-Het$, OR^7 , OAr , OR^6 or $O-Het$,
- 5 R^6 is H, $-C(O)R^7$, $-C(O)-Ar$, $-C(O)-Het$, R^7 , $COOR^7$, $COO-(CH_2)_o-Ar$, $COO-(CH_2)_o-Het$, SO_2-Ar , SO_2R^7 or SO_2-Het ,
- R^7 is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms,
- R^8 is Hal, NO_2 , CN , Z , $-(CH_2)_o-Ar$, $COOR^1$, OR^1 , CF_3 , OCF_3 , SO_2R^1 ,
 10 NHR^1 , $N(R^1)_2$, $NH-C(O)R^1$, $NHCOOR^1$, $COOH$, $COOZ$ or $C(O)R^1$,
 Het is a saturated, partially or fully unsaturated monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members, where 1 or 2 N and/or 1 or 2 S or O atoms may be present and the heterocyclic radical may be monosubstituted or disubstituted by R^8 ,
- 15 Z is alkyl having 1 to 6 carbon atoms,
 Ar is aryl which is unsubstituted or monosubstituted or polysubstituted by R^8 ,
 Hal is F, Cl, Br or I,
 X is a bond, and
- 20 R^{10} and R^{11} are each, independently of one another, a hydroxyl-protecting group or H.

13. Compounds of the formula X



- 25 in which
 A is O, S, NH, NR^7 , CO, CONH, NHCO or a direct bond,
 R^1 is H, Z or $-(CH_2)_o-Ar$,
 R^2 is H, R^7 or $-C(O)Z$,
 R^3 is NHR^6 , $-NR^6-C(=NR^6)-NHR^6$, $-C(=NR^6)-NHR^6$,
 30 $-NR^6-C(=NR^9)-NHR^6$, Het¹ or $-C(=NR^9)-NHR^6$,

R^6 is H, $-C(O)R^7$, $-C(O)-Ar$, $-C(O)-Het$, R^7 , $COOR^7$, $COO-(CH_2)_o-Ar$, $COO-(CH_2)_o-Het$, SO_2-Ar , SO_2R^7 or SO_2-Het ,

R^7 is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms,

5 R^8 is Hal, NO_2 , CN, Z, $-(CH_2)_o-Ar$, $COOR^1$, OR^1 , CF_3 , OCF_3 , SO_2R^1 , NHR^1 , $N(R^1)_2$, $NH-C(O)R^1$, $NHCOOR^1$, $COOH$, $COOZ$ or $C(O)R^1$,

R^9 is CN or NO_2 ,

Z is alkyl having 1 to 6 carbon atoms,

10 Ar is aryl which is unsubstituted or monosubstituted or polysubstituted by R^8 ,

Hal is F, Cl, Br or I,

Het¹ is a monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members and 1 to 4 N atoms each of which may be unsubstituted or monosubstituted or disubstituted by Hal, R^7 , OR^7 , CN, NHZ, oxo or

15 NO_2 ,

n is 0, 1 or 2,

m is 0, 1, 2, 3, 4, 5 or 6, and

o is 0, 1 or 2,

and physiologically acceptable salts and solvates thereof.

20

14. A compound according to Claim 1, wherein

X is a bond,

B is O,

25 R^1 is H,

R^4 is Het,

A is a bond,

and

R^3 is Het¹.

30

15. A compound according to claim 14, wherein Het¹ is pyridine which may be substituted by NHZ where Z is alkyl having 1 to 6 carbon atoms.

16. A compound according to claim 14, wherein R^4 is benzothiadiazole.

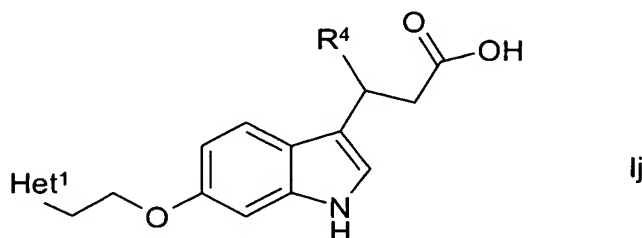
17. A compound according to claim 1, which is 3-(benzo[1,2,5]thiadiazol-5-yl)-3-{6-[2-(6-methylamino-pyridin-2-yl)-ethyloxy]-indol-3-yl}-propionic acid.

5

18. A compound according to claim 1, in racemic form.

19. A compound according to claim 1, in the form of substantially only one of its enantiomers.

10 20. A compound of the formula Ij



in which

- 15 R^3 is NHR^6 , $-NR^6-C(=NR^6)-NHR^6$, $-C(=NR^6)-NHR^6$, $-NR^6-C(=NR^9)-NHR^6$, Het^1 or $-C(=NR^9)-NHR^6$,
- R^4 is H, oxo, R^7 , $-(CH_2)_o-Ar$, $-C(O)-(CH_2)_o-Ar$, $-C(O)-(CH_2)_o-R^7$, $-C(O)-(CH_2)_o-Het$, Het , NHR^6 , $NHAr$, $NH-Het$, $CONH-R^7$, $CONH-(CH_2)_o-Ar$, $CONH-(CH_2)_o-Het$, OR^7 , OAr , OR^6 or $O-Het$,
- 20 R^6 is H, $-C(O)R^7$, $-C(O)-Ar$, $-C(O)-Het$, R^7 , $COOR^7$, $COO-(CH_2)_o-Ar$, $COO-(CH_2)_o-Het$, SO_2-Ar , SO_2R^7 or SO_2-Het ,
- R^7 is alkyl having 1 to 10 carbon atoms or cycloalkyl having 3 to 10 carbon atoms,
- R^8 is Hal, NO_2 , CN, Z, $-(CH_2)_o-Ar$, $COOR^1$, OR^1 , CF_3 , OCF_3 , SO_2R^1 , NHR^1 , $N(R^1)_2$, $NH-C(O)R^1$, $NHCOOR^1$, $COOH$, $COOZ$ or $C(O)R^1$,
- 25 R^9 is CN or NO_2 ,
- Z is alkyl having 1 to 6 carbon atoms,
- Ar is aryl which is unsubstituted or monosubstituted or polysubstituted by R^8 ,
- Hal is F, Cl, Br or I,

Het is a saturated, partially or fully unsaturated monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members, where 1 or 2 N and/or 1 or 2 S or O atoms may be present and the heterocyclic radical may be monosubstituted or disubstituted by R⁸,

5 Het¹ is a monocyclic or bicyclic heterocyclic radical having 5 to 10 ring members and 1 to 4 N atoms each of which may be unsubstituted or monosubstituted or disubstituted by Hal, R⁷, OR⁷, CN, NHZ, oxo or NO₂,

10 o is 0, 1 or 2,
and physiologically acceptable salts and solvates thereof.

21. A pharmaceutical composition comprising a compound of claim 17 and a pharmaceutically acceptable carrier.

15

22. A method of treating thromboses, cardiac infarction, coronary heart diseases, arteriosclerosis, inflammations, rheumatic arthritis, macular degenerative disease, diabetic retinopathy, a tumour by inhibition of metastasis, a tumour by initiation of apoptosis, tumour induced
20 angiogenesis disease, osteoporosis, and/or infections and restenosis after angioplasty comprising administering to a patient in need thereof a compound according to Claim 17 and/or physiologically acceptable salts or solvates thereof.

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